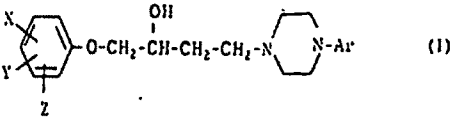
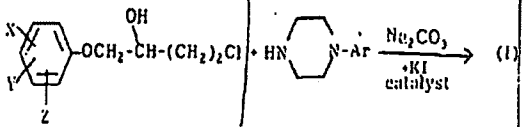


88-149149/22 B03 ROBN 21.11.86 ROBINS & CO INC *EP -269-383-A 21.11.86-US-933180 (01.06.88) A61k-31/49 1-Phenoxy-4-(4-arylpiperaziny)-2-butanol - used esp. in medicament for combatting type I allergic response in host C88-066435 R(BE CH DE FR GB IT LI NL)	B(7-D5, 12-A7, 12-D2, 12-D6, 12-K2, 12-L4) N(1-A1)
<p>Use of a 1-phenoxy-4-(4-arylpiperaziny)-2-butanol of formula (I) in the prepn. of a medicament for combatting Type I allergic response in a host is new.</p>  <p>Ar = -C₆H₄(X')(Y')(Z') or 2-, 3- or 4-pyridyl; X, X' = H, 1-8C alkyl, 1-8C alkoxy, halogen, CF₃, NO₂, NH₂, MeCONH, Ph, X'', Y''C₆H₅, MeCO, CN, CONH₂, COOH or (1-8C)alkoxycarbonyl; Y, Y', Y'' and X'' = X substit. other than opt. substd. Ph; Z, Z' = H, 1-8C alkyl or 1-8C alkoxy.</p>	<p>A salt and/or hydrate of (I) may also be used.</p> <p>MORE SPECIFICALLY Y = H, 1-8C alkyl or halogen; Z = H, 1-8C alkyl or NO₂; Y' = H, halogen or 1-8C alkoxy. The use of 50 specific cpds. (I) is claimed, including 1-(2-chlorophenoxy)-4-(4-phenyl-1-piperaziny)-2-butanol (Ia).</p> <p>USE (I) cause a decrease in the release of histamine and antagonise and organ effects of mediators involved in the immediate hypersensitivity response. They are therefore useful for treating allergic asthma, rhinitis, atopic dermatitis, chronic hives and allergic conjunctivitis. Dose is 4-160 mg daily.</p> <p>EP-269383-A*</p>

<p>PREPARATION</p>  <p>EXAMPLE 4-chlorophenoxy)-2-hydroxybutyl chloride (35.1g), N-phenylpiperazine (32.6g) and i-PrOH (400 ml) were refluxed together for 48 hrs., then kept overnight at 0°C and filtered. The filtrate was treated with HCl/Et₂O and Et₂O, and the solid prod. was sepd., dissolved in dil. HCl and neutralised with aq. NaOH to give 3.6g of (Ia), m.pt. 100-101.5°C after recrystn. from i-PrOH. (30pp12481DDwgNo0/U). (E)ISR: No Search Report.</p>	<p>EP-269383-A</p>
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